

FILE 'USPAT' ENTERED AT 16:23:34 ON 13 MAY 1999

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\* U. S. P A T E N T T E X T F  
I L E \*

\* THE WEEKLY PATENT TEXT AND IMAGE DATA IS  
CURRENT  
\* THROUGH May 11, 1999.

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=> s estrogen?(5a)receptor?

4724 ESTROGEN?  
38193 RECEPTOR?  
L1 934 ESTROGEN?(5A)RECEPTOR?

=> s 11 and chimer?

5342 CHIMER?  
L1 131 L1 ANI CHIMER?

=> s 12 and orphan?

377 ORPHAN?  
L3 24 L1 ANI ORPHAN?

=> d 1-24

1. 5,891,700, Apr. 6, 1999, Receptor-type phosphotyrosine phosphatase-gamma.; Joseph Schlessinger, 435/196 [IMAGE AVAILABLE]

2. 5,877,207, Mar. 1, 1999, Synthesis and use of retinoid compounds having negative hormone and/or antagonist activities; Elliott S. Klein, et al., 514/456; 549 405 [IMAGE AVAILABLE]

3. 5,876,951, Mar. 1, 1999, Yeast cells engineered to produce pheromone system protein surrogates and uses therefor; Dana M. Fowkes, et al., 435/7.31, 254.11, 254.2, 254.21 [IMAGE AVAILABLE]

4. 5,374,554, Feb. 23, 1999, Mutated steroid hormone receptors, methods for their use and molecular switch for gene therapy; Elisabetta Vegeto, et al., 530 350; 536/23.1, 24.1 [IMAGE AVAILABLE]

5. 5,843,445, Dec. 15, 1998, Liver enriched transcription factor; Frances M. Sladek, et al., 435/6; 536/24.1 [IMAGE AVAILABLE]

6. 5,834,213, Nov. 10, 1998, Screening system and assay for identifying compounds that regulate steroid and orphan receptors mediation of DNA

transcription; Bert W. O'Malley, et al., 435/7.8, 6, 7.2, 6.1, 320.1; 536/23.1 [IMAGE AVAILABLE]

7. 5,789,184, Aug. 4, 1998, Yeast cells engineered to produce pheromone system protein surrogates, and uses therefor; Dana M. Fowkes, et al., 435/7.31, 254.11, 254.2, 254.21 [IMAGE AVAILABLE]

8. 5,780,367, Jul. 28, 1998, Method of treating hormone independent cancer; Aaron Frongiad, 514/230 [IMAGE AVAILABLE]

9. 5,776,639, Jul. 7, 1998, Method of identifying negative hormone and/or antagonist activities; Elliott S. Klein, et al., 435/7.2, 7.1, 6.1, 320.1, 325 [IMAGE AVAILABLE]

10. 5,756,448, May 26, 1998, Constitutive activator of retinoid (CAR) receptor polypeptides; David D. Moore, et al., 514/2; 435/63.1; 536/350 [IMAGE AVAILABLE]

11. 5,710,317, Jan. 20, 1998, DNA encoding a constitutive activator retinoid acid response (CAR) receptor; David D. Moore, et al., 435/69.1, 31.1, 325; 536/23.5 [IMAGE AVAILABLE]

12. 5,710,004, Jan. 20, 1998, Methods of using novel steroid hormone orphan receptors; Ronald M. Evans, et al., 435/6, 6.1, 69.4, 69.7, 310.1, 325; 536/350; 536/23.1 [IMAGE AVAILABLE]

13. 5,707,500, Jan. 13, 1998, Retinoic acid response elements and assays employing same; David John Mangelsdorf, et al., 435/6, 7.2, 7.21, 7.8, 69.1, 320.1, 325, 349, 353, 357; 536/23.1, 23.2 [IMAGE AVAILABLE]

14. 5,636,232, Dec. 9, 1997, orphan steroid hormone receptors; Ronald M. Evans, et al., 539/350, 358 [IMAGE AVAILABLE]

15. 5,636,574, Nov. 11, 1997, Constitutive activator of retinoic acid response (CAR) receptor fusion protein; David D. Moore, et al., 536/350; 435/69.1, 69.7; 536/23.4 [IMAGE AVAILABLE]

16. 5,679,418, Oct. 11, 1997, Method for finding transcription activators of the NER steroid hormone receptor; Eitan Friedman, et al., 435/6, 7.1 [IMAGE AVAILABLE]

17. 5,639,616, Jun. 17, 1997, Isolated nucleic acid encoding a ubiquitous nuclear receptor; Shutsung Liao, et al., 435/7.1, 69.1, 252.3, 320.1; 536/23.5, 24.3 [IMAGE AVAILABLE]

18. 5,607,907, Mar. 4, 1997, Treatment of alzheimer's disease with

4-(4-allylphenyl)-2-furan carboxylic acid;  
Eitan Friedman, et al.,  
514/461, 473 [IMAGE AVAILABLE]

19. 5,604,115, Feb. 18, 1997, Liver enriched  
transcription factor;  
Frances M. Sladek, et al., 435/69.1, 252.3,  
354.11, 326.1, 325, 348;  
536/23.5 [IMAGE AVAILABLE]

20. 5,602,009, Feb. 11, 1997, Dominant  
negative **chimeras** of the  
steroid/thyroid superfamily of receptors;  
Ronald M. Evans, et al.,  
435/69.7, 252.3, 320.1; 530/350; 536/23.4  
[IMAGE AVAILABLE]

21. 5,597,695, Jan. 28, 1997, Hormone  
response element compositions and  
assay; Ronald M. Evans, et al., 435/6, 69.7;  
530/330, 350 [IMAGE  
AVAILABLE]

22. 5,556,956, Sep. 17, 1996, Methods and  
compositions relating to the  
androgen receptor gene and uses thereof; Arun  
P. Ray, et al., 536/24.1,  
33.1, 24.3, 24.31 [IMAGE AVAILABLE]

23. 5,533,123, Jul. 2, 1996, Receptor-type  
phosphotyrosine  
phosphatase- $\gamma$ amoa.; Joseph Schlessinger,  
435/6, 69.1, 69.7, 70.1, 71.2,  
195, 251.3, 254., 320.1, 357, 365; 536/23.1,  
23.2 [IMAGE AVAILABLE]

24. 5,364,791, Nov. 15, 1994, Progesterone  
receptor having C. terminal  
hormone binding domain truncations;  
Malsaretti Vegeto, et al., 435/320.1,  
7.9; 530/350; 536/23.1 [IMAGE AVAILABLE]

and 14 Sims

US PAT NO: 5,596,232 [IMAGE AVAILABLE]  
2: 14 of 24

#### CLAIMS:

##### CLMS (1)

That which is claimed is:

1. A polypeptide characterized by having a  
DNA binding domain comprising  
about 66 amino acids with 6 Cys residues,  
wherein said DNA binding domain  
is further characterized by the following  
amino acid sequence identity,  
relative to the DNA binding domains of hRAR-  
alpha, hTR-beta, hGR and  
hRXR-alpha, respectively:

- A. (i) about 63% amino acid sequence  
identity with the DNA binding  
domain of hRAR-alpha;
- (ii) about 59% amino acid sequence identity  
with the DNA binding domain  
of hTR-beta;
- (iii) about 4% amino acid sequence identity  
with the DNA binding domain  
of hGR; and
- (iv) about 65% amino acid sequence identity  
with the DNA binding domain

- of hRXR-alpha; or
- B. (i) about 55% amino acid sequence  
identity with the DNA binding  
domain of hRAR-alpha;
- (ii) about 54% amino acid sequence identity  
with the DNA binding domain  
of hTR-beta;
- (iii) about 50% amino acid sequence identity  
with the DNA binding domain  
of hGR; and
- (iv) about 53% amino acid sequence identity  
with the DNA binding domain  
of hRXR-alpha; or
- C. (i) about 51% amino acid sequence  
identity with the DNA binding  
domain of hRAR-alpha;
- (ii) about 56% amino acid sequence identity  
with the DNA binding domain  
of hTR-beta;
- (iii) about 44% amino acid sequence identity  
with the DNA binding domain  
of hGR; and
- (iv) about 62% amino acid sequence identity  
with the DNA binding domain  
of hRXR-alpha; or
- D. (i) about 53% amino acid sequence  
identity with the DNA binding  
domain of hRAR-alpha;
- (ii) about 52% amino acid sequence identity  
with the DNA binding domain  
of hTR-beta;
- (iii) about 44% amino acid sequence identity  
with the DNA binding domain  
of hGR; and
- (iv) about 61% amino acid sequence identity  
with the DNA binding domain  
of hRXR-alpha; or
- E. (i) about 59% amino acid sequence  
identity with the DNA binding  
domain of hRAR-alpha;
- (ii) about 55% amino acid sequence identity  
with the DNA binding domain  
of hTR-beta;
- (iii) about 50% amino acid sequence identity  
with the DNA binding domain  
of hGR; and
- (iv) about 65% amino acid sequence identity  
with the DNA binding domain  
of hRXR-alpha.

##### CLMS(2)

2. A polypeptide according to claim 1  
wherein the DNA binding domain of  
said polypeptide has:
- (i) about 64% amino acid sequence identity  
with the DNA binding domain  
of hRAR-alpha;
  - (ii) about 59% amino acid sequence identity  
with the DNA binding domain  
of hTR-beta;
  - (iii) about 15% amino acid sequence identity  
with the DNA binding domain  
of hGR; and
  - (iv) about 67% amino acid sequence identity  
with the DNA binding domain  
of hRXR-alpha.

##### CLMS(3)

3. A polypeptide according to claim 2  
wherein the ligand binding domain  
of said polypeptide has:
- (i) about 27% amino acid sequence identity  
with the ligand binding

domain of hRAR-alpha;  
(ii) about 30% amino acid sequence identity with the ligand binding domain of hTR-beta;  
domain of hTR-beta;  
(iii) about 20% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 22% amino acid sequence identity with the ligand binding domain of hRXR-alpha.

CLMS(4)

4. A polypeptide according to claim 3 wherein said polypeptide has an overall amino acid sequence identity of:  
(i) about 32% relative to hRAR-alpha;  
(ii) about 31% relative to hTR-beta;  
(iii) about 18% relative to hGR; and  
(iv) about 29% relative to hRXR-alpha.

CLMS(5)

5. A polypeptide according to claim 1 wherein the DNA binding domain of said polypeptide has:  
(i) about 55% amino acid sequence identity with the DNA binding domain of hRAR-alpha;  
(ii) about 56% amino acid sequence identity with the DNA binding domain of hTR-beta;  
(iii) about 50% amino acid sequence identity with the DNA binding domain of hGR; and  
(iv) about 52% amino acid sequence identity with the DNA binding domain of hRXR-alpha.

CLMS(6)

6. A polypeptide according to claim 5 wherein the ligand binding domain of said polypeptide has:  
(i) about 32% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 29% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 20% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 18% amino acid sequence identity with the ligand binding domain of hRXR-alpha.

CLMS(7)

7. A polypeptide according to claim 6 wherein said polypeptide has an overall amino acid sequence identity of:  
(i) about 33% relative to hRAR-alpha;  
(ii) about 31% relative to hTR-beta;  
(iii) about 24% relative to hGR; and  
(iv) about 27% relative to hRXR-alpha.

CLMS(8)

8. A polypeptide according to claim 1 wherein the DNA binding domain of said polypeptide has:  
(i) about 62% amino acid sequence identity with the DNA binding domain of hRAR-alpha;

(ii) about 58% amino acid sequence identity with the DNA binding domain of hTR-beta;  
(iii) about 48% amino acid sequence identity with the DNA binding domain of hGR; and  
(iv) about 62% amino acid sequence identity with the DNA binding domain of hRXR-alpha.

CLMS(9)

9. A polypeptide according to claim 8 wherein the ligand binding domain of said polypeptide has:  
(i) about 29% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 27% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 21% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 28% amino acid sequence identity with the ligand binding domain of hRXR-alpha.

CLMS(10)

10. A polypeptide according to claim 9 wherein said polypeptide has an overall amino acid sequence identity of:  
(i) about 32% relative to hRAR-alpha;  
(ii) about 31% relative to hTR-beta;  
(iii) about 25% relative to hGR; and  
(iv) about 33% relative to hRXR-alpha.

CLMS(11)

11. A polypeptide according to claim 1 wherein the DNA binding domain of said polypeptide has:  
(i) about 59% amino acid sequence identity with the DNA binding domain of hRAR-alpha;  
(ii) about 50% amino acid sequence identity with the DNA binding domain of hTR-beta;  
(iii) about 44% amino acid sequence identity with the DNA binding domain of hGR; and  
(iv) about 61% amino acid sequence identity with the DNA binding domain of hRXR-alpha.

CLMS(12)

12. A polypeptide according to claim 11 wherein the ligand binding domain of said polypeptide has:  
(i) about 19% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 17% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 20% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 27% amino acid sequence identity with the ligand binding domain of hRXR-alpha.

CLMS(13)

13. A polypeptide according to claim 12 wherein said polypeptide has an overall amino acid sequence identity of:  
(i) about 23% relative to hRAR-alpha;  
(ii) about 24% relative to hTR-beta;  
(iii) about 19% relative to hGR; and  
(iv) about 29% relative to hRXR-alpha.

CLMS(14)

14. A polypeptide according to claim 1 wherein the DNA binding domain of said polypeptide has:  
(i) about 55% amino acid sequence identity with the DNA binding domain of hRAR-alpha;  
(ii) about 55% amino acid sequence identity with the DNA binding domain of hTR-beta;  
(iii) about 50% amino acid sequence identity with the DNA binding domain of hGR; and  
(iv) about 65% amino acid sequence identity with the DNA binding domain of hRXR-alpha.

CLMS(15)

15. A polypeptide according to claim 14 wherein the ligand binding domain of said polypeptide has:  
(i) about 18% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 20% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 20% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 24% amino acid sequence identity with the ligand binding domain of hRXR-alpha.

CLMS(16)

16. A polypeptide according to claim 15 wherein said polypeptide has an overall amino acid sequence identity of:  
(i) about 24% relative to hRAR-alpha;  
(ii) about 28% relative to hTR-beta;  
(iii) about 13% relative to hGR; and  
(iv) about 33% relative to hRXR-alpha.

CLMS(17)

17. A polypeptide according to claim 1 wherein the ligand binding domain of said polypeptide is further characterized by the following amino acid sequence identity, relative to the ligand binding domains of hRAR-alpha, hTR-beta, hGR and hRXR-alpha, respectively:  
A. (i) about 27% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 30% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 20% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 22% amino acid sequence identity with the ligand binding

domain of hRXR-alpha; or  
B. (i) about 32% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 29% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 20% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 23% amino acid sequence identity with the ligand binding domain of hRXR-alpha; or  
C. (i) about 10% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 20% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 11% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 20% amino acid sequence identity with the ligand binding domain of hRXR-alpha; or  
D. (i) about 10% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 20% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 10% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 20% amino acid sequence identity with the ligand binding domain of hRXR-alpha; or  
E. (i) about 10% amino acid sequence identity with the ligand binding domain of hRAR-alpha;  
(ii) about 20% amino acid sequence identity with the ligand binding domain of hTR-beta;  
(iii) about 10% amino acid sequence identity with the ligand binding domain of hGR; and  
(iv) about 20% amino acid sequence identity with the ligand binding domain of hRXR-alpha.

CLMS(18)

18. A polypeptide according to claim 1 wherein said polypeptide has an overall amino acid sequence identity, relative to hRAR-alpha, hTR-beta, hGR and hRXR-alpha, respectively of:  
A. (i) about 21% relative to hRAR-alpha;  
(ii) about 31% relative to hTR-beta;  
(iii) about 10% relative to hGR; and  
(iv) about 20% relative to hRXR-alpha; or  
B. (i) about 10% relative to hRAR-alpha;  
(ii) about 21% relative to hTR-beta;  
(iii) about 10% relative to hGR; and  
(iv) about 20% relative to hRXR-alpha; or  
C. (i) about 10% relative to hRAR-alpha;  
(ii) about 31% relative to hTR-beta;  
(iii) about 10% relative to hGR; and  
(iv) about 20% relative to hRXR-alpha; or  
D. (i) about 10% relative to hRAR-alpha;  
(ii) about 24% relative to hTR-beta;  
(iii) about 10% relative to hGR; and  
(iv) about 10% relative to hRXR-alpha; or  
E. (i) about 10% relative to hRAR-alpha;  
(ii) about 20% relative to hTR-beta;

13. A polypeptide according to claim 1 wherein said polypeptide has the same amino acid sequence as polypeptides selected from SEQ ID NOS: 3, 4, 5, 8, 10, 12 or 14.

CLAIMS(10)

13. A polypeptide according to claim 1 wherein said polypeptide has the same amino acid sequence as polypeptides selected from SEQ ID NOS: 3, 4, 5, 8, 10, 12 or 14.

CLAIMS(10)

14. A chimeric receptor comprising an amino-terminal domain, a DNA-binding domain, and a ligand-binding domain, wherein at least one of the domains thereof is derived from the polypeptide of claim 1; and wherein at least one of the domains thereof is derived from at least one previously identified member of the steroid/thyroid superfamily of receptors.

4,696,233/PN

L4 1 5696233/PN

4,696,233 L1 and L4

L5 1 L1 AND L4

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US PAT NO: 5,696,233 [IMAGE AVAILABLE]  
LS: 1 of 1

SUMMARY:

BSUM(6)

A number of receptor proteins, each specific for one of several classes of cognate steroid hormones [e.g., **estrogens** (**estrogen receptor**), progesterones (progesterone **receptor**), glucocorticoid (glucocorticoid receptor), androgens (androgen receptor), aldosterones (mineralocorticoid receptor), vitamin D (vitamin D receptor)], retinoids (e.g., retinoic acid receptor) or . . .

SUMMARY:

BSUM(11)

A . . . growth hormone genes, responsive to glucocorticoids, estrogens and thyroid hormones; the transcriptional control units for mammalian prolactin genes and progesterone **receptor** genes, responsive to **estrogens**; the transcriptional control units for avian ovalbumin genes, responsive to progesterones; mammalian metallothionein gene transcriptional control units, responsive to glucocorticoids; . . .

PETDESC:

DETD(66)

wherein . . . least one previously identified member of the steroid/thyroid superfamily of receptors e.g., glucocorticoid receptor (GR), thyroid receptors (TR), retinoid receptors (RAR), mineralocorticoid receptor (MR), estrogen receptor (ER), the **estrogen** related receptors (e.g., hERR1 or hERR2), retinoid X receptors (e.g., RXR.alpha., RXR.beta., RXR.delta.), vitamin D receptor (VDR), aldosterone receptor (AR), progesterone. . .

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(FILE 'USPAT' ENTERED AT 16:23:34 ON 13 MAY 1999)

L1	934 S ESTROGEN?(5A)RECEPTOR?
L2	181 S L1 AND CHIMER?
L3	24 S L2 AND ORPHAN?
L4	1 S 5696233/PN
L5	1 S L1 AND L4